ACCESS DB # 163081

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Scientific and Technical Information Center

SEARCH REQUEST FORM

Requester's Full Name: Jeffrey E. Russel Examiner #: 62785 Date: 8-19-2005
Art Unit: 1654 Phone Number: 2-0969 Serial Number: 10/782,268
Location (Bldg/Room#): REM 3D19 (Mailbox #): 3C18 Results Format Preferred (circle): PAPER DISK

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To ensure an efficient and quality search, please attach a copy of the cover sheet, claims, and abstract or fill out the following:

Title of Invention: Activated Polyethylene Glycol Esters

Inventors (please provide full names): F. Tjoeng

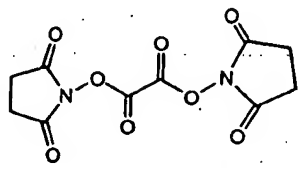
Earliest Priority Date: 2-19-2004

Search Topic:
Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc., if known.

For Sequence Searches Only ***Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.***

Please search these two structures (and please find registry numbers for them).

If there are many hits, please use the keywords conjugat?, PEG, pegylat?, polyethylene glycol



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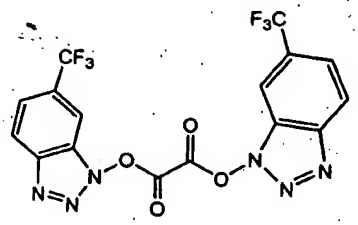

II

Thank you.

JEL

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STAFF USE ONLY	Type of Search	Vendors and cost where applicable
Searcher:	____ NA Sequence (#)	____ STN ____ Dialog
Searcher Phone #:	____ AA Sequence (#)	____ Questel/Orbit ____ Lexis/Nexis
Searcher Location:	____ Structure (#)	____ Westlaw ____ WWW/Internet
Date Searcher Picked Up:	____ Bibliographic	____ In-house sequence systems
Date Completed:	____ Litigation	____ Commercial ____ Oligomer ____ Score/Length ____ Interference ____ SPDI ____ Encode/Transl ____ Other (specify)
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Online Time:	____ Other	

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=> d his ful

     (FILE 'HOME' ENTERED AT 17:19:26 ON 16 SEP 2005)

     FILE 'HCAPLUS' ENTERED AT 18:06:26 ON 16 SEP 2005
                E TJOENG FOE/AU
L29           89 SEA ABB=ON  ("TJOENG F S"/AU OR "TJOENG F SIONG"/AU OR "TJOENG
                FOE"/AU OR "TJOENG FOE S"/AU OR "TJOENG FOE SIONG"/AU)
L30            5 SEA ABB=ON  L29 AND ?POLYETHYLENE?(W)?GLYCOL?
                SELECT RN L30 1-5

     FILE 'REGISTRY' ENTERED AT 18:07:20 ON 16 SEP 2005
L31           54 SEA ABB=ON  (25322-68-3/BI OR 55715-03-2/BI OR 13734-41-3/BI
                OR 15761-38-3/BI OR 61165-83-1/BI OR 67271-86-7/BI OR 84098-75-
                9/BI OR 9002-72-6/BI OR 101-41-7/BI OR 103-82-2/BI OR 110-86-1/
                BI OR 1122-58-3/BI OR 121-17-5/BI OR 13139-15-6/BI OR 135649-01
                -3/BI OR 14611-35-9/BI OR 155919-13-4/BI OR 2130-96-3/BI OR
                2389-45-9/BI OR 26198-21-0/BI OR 28334-73-8/BI OR 56133-97-2/BI
                 OR 6232-88-8/BI OR 62700-58-7/BI OR 67271-82-3/BI OR 67271-83-
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                I OR 67315-52-0/BI OR 67316-51-2/BI OR 67316-52-3/BI OR
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     FILE 'HCAPLUS' ENTERED AT 18:07:34 ON 16 SEP 2005
L32            5 SEA ABB=ON  L30 AND L31

     FILE 'REGISTRY' ENTERED AT 18:16:33 ON 16 SEP 2005
L33                STRUCTURE
L34            1 SEA SSS SAM L33
L35            5 SEA SSS FUL L33  5 compds from Registry - see "Iqnestats"

     FILE 'HCAPLUS' ENTERED AT 18:18:30 ON 16 SEP 2005  3 cites from CAPlus
L36            3 SEA ABB=ON  L35

     FILE 'USPATFULL' ENTERED AT 18:24:43 ON 16 SEP 2005
L37            2 SEA ABB=ON  L35  2 cits from USPatFull

     FILE HOME

     FILE HCAPLUS

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     FILE COVERS 1907 - 16 Sep 2005  VOL 143 ISS 13
     FILE LAST UPDATED: 15 Sep 2005  (20050915/ED)
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New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

FILE REGISTRY
Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 15 SEP 2005 HIGHEST RN 863287-86-9
DICTIONARY FILE UPDATES: 15 SEP 2005 HIGHEST RN 863287-86-9

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

Please note that search-term pricing does apply when conducting SmartSELECT searches.

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* *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
* *
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Structure search iteration limits have been increased. See HELP SLIMITS for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

FILE MEDLINE
FILE LAST UPDATED: 15 SEP 2005 (20050915/UP). FILE COVERS 1950 TO DATE.

On December 19, 2004, the 2005 MeSH terms were loaded.

The MEDLINE reload for 2005 is now available. For details enter HELP RLOAD at an arrow promt (=>). See also:

http://www.nlm.nih.gov/mesh/
http://www.nlm.nih.gov/pubs/techbull/nd04/nd04_mesh.html

OLDMEDLINE now back to 1950.

MEDLINE thesauri in the /CN, /CT, and /MN fields incorporate the MeSH 2005 vocabulary.

This file contains CAS Registry Numbers for easy and accurate substance identification.

FILE BIOSIS
FILE COVERS 1969 TO DATE.
CAS REGISTRY NUMBERS AND CHEMICAL NAMES (CNs) PRESENT

FROM JANUARY 1969 TO DATE.

RECORDS LAST ADDED: 14 September 2005 (20050914/ED)

FILE RELOADED: 19 October 2003.

FILE EMBASE
FILE COVERS 1974 TO 15 Sep 2005 (20050915/ED)

EMBASE has been reloaded. Enter HELP RLOAD for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

FILE JICST-EPLUS
FILE COVERS 1985 TO 13 SEP 2005 (20050913/ED)

THE JICST-EPLUS FILE HAS BEEN RELOADED TO REFLECT THE 1999 CONTROLLED TERM (/CT) THESAURUS RELOAD.

FILE JAPIO
FILE LAST UPDATED: 5 SEP 2005 <20050905/UP>
FILE COVERS APR 1973 TO APRIL 28, 2005

<<< GRAPHIC IMAGES AVAILABLE >>>

FILE USPATFULL
FILE COVERS 1971 TO PATENT PUBLICATION DATE: 15 Sep 2005 (20050915/PD)
FILE LAST UPDATED: 15 Sep 2005 (20050915/ED)
HIGHEST GRANTED PATENT NUMBER: US6944881
HIGHEST APPLICATION PUBLICATION NUMBER: US2005204445
CA INDEXING IS CURRENT THROUGH 15 Sep 2005 (20050915/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 15 Sep 2005 (20050915/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Jun 2005
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Jun 2005

>>> USPAT2 is now available. USPATFULL contains full text of the <<<
>>> original, i.e., the earliest published granted patents or <<<
>>> applications. USPAT2 contains full text of the latest US <<<
>>> publications, starting in 2001, for the inventions covered in <<<
>>> USPATFULL. A USPATFULL record contains not only the original <<<
>>> published document but also a list of any subsequent <<<
>>> publications. The publication number, patent kind code, and <<<
>>> publication date for all the US publications for an invention <<<
>>> are displayed in the PI (Patent Information) field of USPATFULL <<<
>>> records and may be searched in standard search fields, e.g., /PN, <<<
>>> /PK, etc. <<<

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>>> through the new cluster USPATALL. Type FILE USPATALL to <<<
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>>> <<<
>>> Use USPATALL when searching terms such as patent assignees, <<<
>>> classifications, or claims, that may potentially change from <<<
>>> the earliest to the latest publication. <<<

This file contains CAS Registry Numbers for easy and accurate substance identification.

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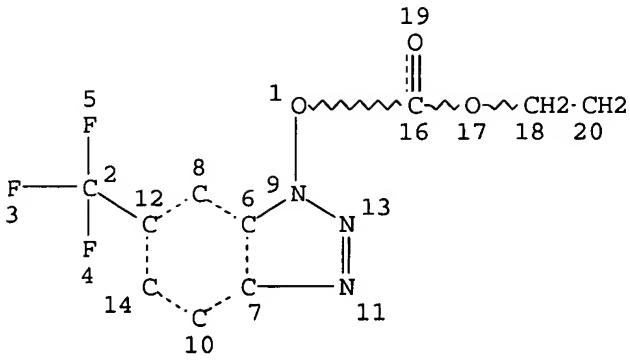
L33 STR



NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 19

STEREO ATTRIBUTES: NONE
L35 5 SEA FILE=REGISTRY SSS FUL L33
L37 2 SEA FILE=USPATFULL ABB=ON L35

=> d ibib abs hitstr l36 1-3

L36 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:681505 HCAPLUS
DOCUMENT NUMBER: 141:207525
TITLE: Preparation of peptide-containing vitamin receptor binding drug delivery conjugates
INVENTOR(S): Vlahov, Iontcho Radoslavov; Leamon, Christopher Paul; Parker, Matthew A.; Howard, Stephen J.; Santhapuram, Hari Krishna; Satyam, Apparao; Reddy, Joseph Anand
PATENT ASSIGNEE(S): Endocyte, Inc., USA
SOURCE: PCT Int. Appl., 110 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2004069159	A2	20040819	WO 2004-US2070		20040127
WO 2004069159	A3	20050616			
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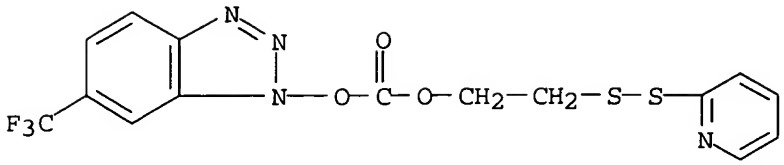
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
US 2005002942	A1	20050106	US 2004-765336		20040127
PRIORITY APPLN. INFO.:			US 2003-442845P	P	20030127
			US 2003-492119P	P	20030801
			US 2003-516188P	P	20031031

AB The invention describes vitamin receptor binding drug delivery conjugates and their synthesis. The drug delivery conjugate consists of a vitamin receptor binding moiety (a vitamin or vitamin receptor binding analog), a bivalent linker, and a drug or its analogs or derivs. The vitamin receptor binding moiety and the drug are covalently linked to the bivalent linker, which comprises one or more spacer linkers, releasable linkers, and heteroatom linkers. Methods and pharmaceutical compns. for eliminating pathogenic cell populations using the drug delivery conjugate are also described. Thus, a conjugate prepared from deacetylvinblastine monohydrazide, N-(4-acetylphenyl)maleimide, and folate-containing peptidyl fragment Pte-Glu-Asp-Arg-Asp-Asp-Cys-OH was effective in delaying the growth of M109 tumors in mice.

IT **742091-98-1**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of peptide-containing vitamin receptor binding drug delivery conjugates)
RN 742091-98-1 HCAPLUS
CN 1H-Benzotriazole, 1-[[[2-(2-pyridinyldithio)ethoxy]carbonyl]oxy]-6-(trifluoromethyl)- (9CI) (CA INDEX NAME)



L36 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:681425 HCAPLUS
DOCUMENT NUMBER: 141:207947
TITLE: Activated polyethylene glycol esters for biologically active conjugates
INVENTOR(S): Tjoeng, Foe S.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 13 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004162388	A1	20040819	US 2004-782268	20040219
WO 2004074345	A2	20040902	WO 2004-IB424	20040213
WO 2004074345	A3	20050120		

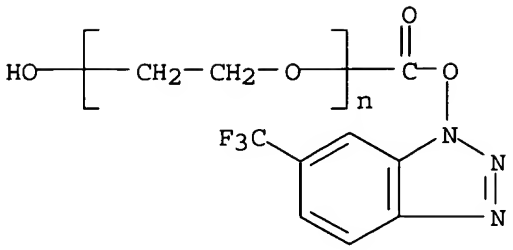
W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA, NI
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2003-448354P P 20030219

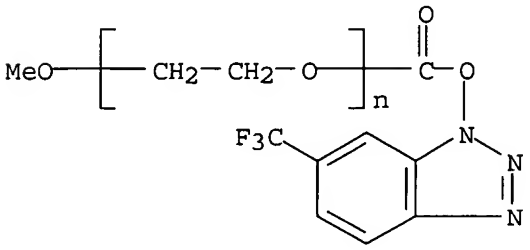
AB A method of producing an activated ester of polyethylene glycol (PEG), comprises the step of activating PEG with N,N'-disuccinimidyl oxalate or 1,1'-bis[6-(trifluoromethyl)benzotriazolyl] oxalate under the appropriate conditions. The polyethylene glycol carbonate active esters are useful for the PEGylation of biol. active and pharmaceutically useful peptides and proteins. The invention involves the use of activated carbonate and oxalate esters in the formation of polyethylene glycol mixed carbonate active esters that then react with a linker or directly with a target peptide or protein.

IT **741260-69-5P 741260-70-8P**
RL: IMF (Industrial manufacture); RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)
(activated polyethylene glycol esters for biol. active conjugates)

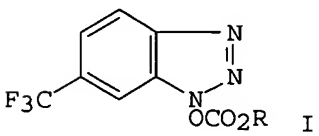
RN 741260-69-5 HCAPLUS
CN Poly(oxy-1,2-ethanediyl), α-[[[6-(trifluoromethyl)-1H-benzotriazol-1-yl]oxy]carbonyl]-ω-hydroxy- (9CI) (CA INDEX NAME)



RN 741260-70-8 HCAPLUS
CN Poly(oxy-1,2-ethanediyl), α-[[[6-(trifluoromethyl)-1H-benzotriazol-1-yl]oxy]carbonyl]-ω-methoxy- (9CI) (CA INDEX NAME)



L36 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1988:55991 HCAPLUS
DOCUMENT NUMBER: 108:55991
TITLE: Studies on activating methods of functional groups. Part XIII. A synthesis of a new type of alkoxycarbonylating reagents from 1,1-bis[6-(trifluoromethyl)benzotriazolyl] carbonate (BTBC) and their reactions
AUTHOR(S): Takeda, Kazuyoshi; Tsuboyama, Kanoko; Hoshino, Mitsuho; Kishino, Miyuki; Ogura, Haruo
CORPORATE SOURCE: Sch. Pharm. Sci., Kitasato Univ., Tokyo, 108, Japan
SOURCE: Synthesis (1987), (6), 557-60
CODEN: SYNTBF; ISSN: 0039-7881
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 108:55991
GI



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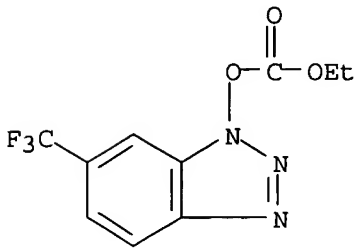
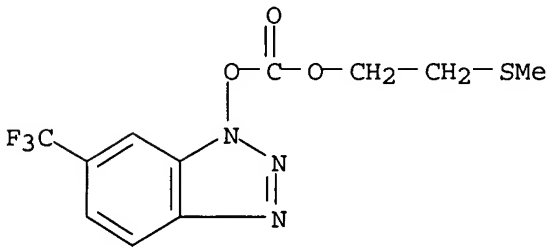
AB The reaction of BTBC with ROH (R = PhCH2, Cl3CCH2, allyl, Me2CHCH2, MeSCH2CH2, 9-fluorenylmethyl) in MeCN at room temperature gave 55-95% stable active carbonates I. Active carbonates I reacted with alcs. and amines XH [X = Me2CHCH(CO2H)NH, PhCH2NH, EtO2CCH2NH, MeCH:CHCH2O, 2-furylmethoxy,

etc.] to give 53-98% 6 carbonates and 6 carbamates, XCO2H.

IT **112380-64-0**
RL: RCT (Reactant); RACT (Reactant or reagent)
(alkoxycarbonylation by, of alcs.)
RN 112380-64-0 HCAPLUS
CN 1H-Benzotriazole, 1-[(ethoxycarbonyl)oxy]-6-(trifluoromethyl)- (9CI) (CA INDEX NAME)



IT **112380-62-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 112380-62-8 HCAPLUS
CN 1H-Benzotriazole, 1-[[[2-(methylthio)ethoxy]carbonyl]oxy]-6-(trifluoromethyl)- (9CI) (CA INDEX NAME)



=> d ibib abs hitstr l37 1-2

L37 ANSWER 1 OF 2 USPATFULL on STN

ACCESSION NUMBER:	2005:3840 USPATFULL
TITLE:	Vitamin receptor binding drug delivery conjugates
INVENTOR(S):	Vlahov, Iontcho R., Lafayette, IN, UNITED STATES Leamon, Christopher P., West Lafayette, IN, UNITED STATES Parker, Matthew A., San Diego, CA, UNITED STATES Howard, Stephen J., West Lafayette, IN, UNITED STATES Santhapuram, Hari Krishna, West Lafayette, IN, UNITED STATES Satyam, Apparao, Mumbai, INDIA Reddy, Joseph Anand, West Lafayette, IN, UNITED STATES

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 2005002942	A1	20050106	
APPLICATION INFO.:	US 2004-765336	A1	20040127	(10)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2003-442845P	20030127 (60)
	US 2003-492119P	20030801 (60)
	US 2003-516188P	20031031 (60)

DOCUMENT TYPE:	Utility
FILE SEGMENT:	APPLICATION
LEGAL REPRESENTATIVE:	BARNES & THORNBURG, 11 SOUTH MERIDIAN, INDIANAPOLIS, IN, 46204
NUMBER OF CLAIMS:	63
EXEMPLARY CLAIM:	1
NUMBER OF DRAWINGS:	8 Drawing Page(s)
LINE COUNT:	3320

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

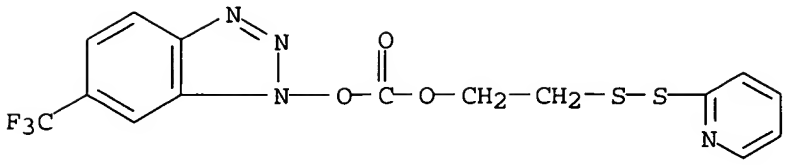
AB The invention describes a vitamin receptor binding drug delivery conjugate, and preparations therefor. The drug delivery conjugate consists of a vitamin receptor binding moiety, a bivalent linker (L), and a drug. The vitamin receptor binding moiety includes vitamins, and vitamin receptor binding analogs and derivatives thereof, and the drug includes analogs and derivatives thereof. The vitamin receptor binding moiety is covalently linked to the bivalent linker, and the drug, or the analog or the derivative thereof, is covalently linked to the bivalent linker, wherein the bivalent linker (L) includes components such as spacer linkers, releasable linkers, and heteroatom linkers, and combinations thereof. Methods and pharmaceutical compositions for eliminating pathogenic cell populations using the drug delivery conjugate are also described.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **742091-98-1**
(preparation of peptide-containing vitamin receptor binding drug delivery conjugates)

RN 742091-98-1 USPATFULL

CN 1H-Benzotriazole, 1-[[[2-(2-pyridinyldithio)ethoxy]carbonyl]oxy]-6-(trifluoromethyl)- (9CI) (CA INDEX NAME)



L37 ANSWER 2 OF 2 USPATFULL on STN
ACCESSION NUMBER: 2004:209953 USPATFULL
TITLE: Activated polyethylene glycol esters
INVENTOR(S): Tjoeng, Foe S., Ballwin, MO, UNITED STATES

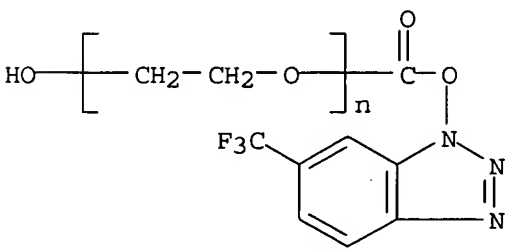
	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2004162388	A1	20040819
APPLICATION INFO.:	US 2004-782268	A1	20040219 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2003-448354P	20030219 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: PHARMACIA CORPORATION, GLOBAL PATENT DEPARTMENT, POST OFFICE BOX 1027, ST. LOUIS, MO, 63006
NUMBER OF CLAIMS: 29
EXEMPLARY CLAIM: 1
LINE COUNT: 756
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

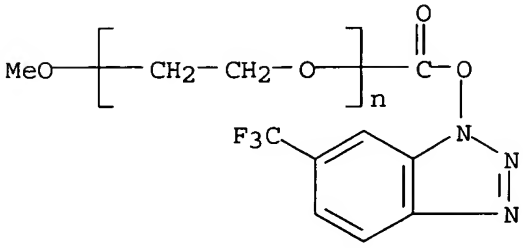
AB The present invention relates to the preparation of polyethylene glycol carbonate active esters useful for the PEGylation of biological active and pharmaceutically useful peptides and proteins. The invention involves the use of activated carbonate and oxalate esters in the formation of polyethylene glycol mixed carbonate active esters that then react with a linker or directly with a target peptide or protein.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **741260-69-5P 741260-70-8P**
(activated polyethylene glycol esters for biol. active conjugates)
RN 741260-69-5 USPATFULL
CN Poly(oxy-1,2-ethanediyl), α-[[[6-(trifluoromethyl)-1H-benzotriazol-1-yl]oxy]carbonyl]-ω-hydroxy- (9CI) (CA INDEX NAME)



RN 741260-70-8 USPATFULL
CN Poly(oxy-1,2-ethanediyl), α-[[[6-(trifluoromethyl)-1H-benzotriazol-1-

yl]oxy]carbonyl]-ω-methoxy- (9CI) (CA INDEX NAME)



Mentor Leach

=> d ibib abs hitstr l32 1-5

L32 ANSWER 1 OF 5 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:681425 HCAPLUS
DOCUMENT NUMBER: 141:207947
TITLE: Activated **polyethylene glycol** esters for biologically active conjugates
INVENTOR(S): **Tjoeng, Foe S.**
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 13 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004162388	A1	20040819	US 2004-782268	20040219
WO 2004074345	A2	20040902	WO 2004-IB424	20040213
WO 2004074345	A3	20050120		

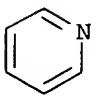
W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA, NI
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2003-448354P P 20030219

AB A method of producing an activated ester of **polyethylene glycol** (PEG), comprises the step of activating PEG with N,N'-disuccinimidyl oxalate or 1,1'-bis[6-(trifluoromethyl)benzotriazolyl] oxalate under the appropriate conditions. The **polyethylene glycol** carbonate active esters are useful for the PEGylation of biol. active and pharmaceutically useful peptides and proteins. The invention involves the use of activated carbonate and oxalate esters in the formation of **polyethylene glycol** mixed carbonate active esters that then react with a linker or directly with a target peptide or protein.

IT **110-86-1**, Pyridine, uses **1122-58-3**, 4-Dimethylaminopyridine
RL: CAT (Catalyst use); USES (Uses)
(activated **polyethylene glycol** esters for biol. active conjugates)

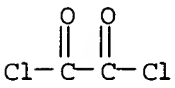
RN 110-86-1 HCAPLUS
CN Pyridine (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)



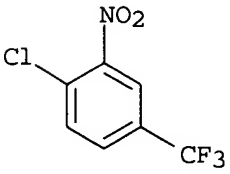
RN 1122-58-3 HCAPLUS

study); PREP (Preparation); USES (Uses)
(activated **polyethylene glycol** esters for biol. active conjugates)
RN 9002-72-6 HCAPLUS
CN Somatotropin (9CI) (CA INDEX NAME)

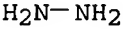
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
IT **79-37-8**, Oxalyl chloride **121-17-5 7803-57-8**, Hydrazine hydrate
RL: RCT (Reactant); RACT (Reactant or reagent)
(activated **polyethylene glycol** esters for biol. active conjugates)
RN 79-37-8 HCAPLUS
CN Ethanedioyl dichloride (9CI) (CA INDEX NAME)



RN 121-17-5 HCAPLUS
CN Benzene, 1-chloro-2-nitro-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 7803-57-8 HCAPLUS
CN Hydrazine, monohydrate (8CI, 9CI) (CA INDEX NAME)



IT **9002-72-6P**, Somatotropin
RL: IMF (Industrial manufacture); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(antagonists, conjugates with activated esters of **polyethylene glycol**; activated **polyethylene glycol** esters for biol. active conjugates)
RN 9002-72-6 HCAPLUS
CN Somatotropin (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

L32 ANSWER 2 OF 5 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1983:179866 HCAPLUS
DOCUMENT NUMBER: 98:179866
TITLE: 4-(2-Chloropropionyl)phenylacetoxy-

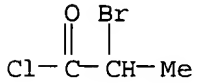
polyethylene glycol: a new photolabile support for liquid phase peptide synthesis

AUTHOR(S): **Tjoeng, Foe S.**; Heavner, George A.
CORPORATE SOURCE: Dep. Immunobiol., Ortho Pharm. Corp., Raritan, NJ, 08869, USA

SOURCE: Tetrahedron Letters (1982), 23(43), 4439-42
CODEN: TELEAY; ISSN: 0040-4039
DOCUMENT TYPE: Journal
LANGUAGE: English

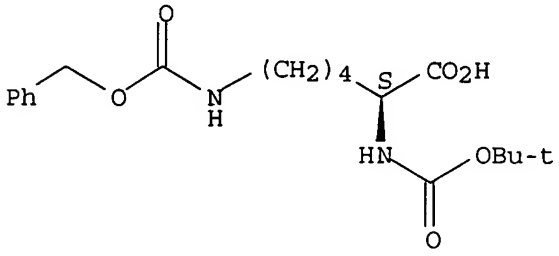
AB Sequential methylation, acylation with MeCHBrCOCl, and hydrolysis-chlorination of PhCH2CO2H gave p-(MeCHClCO)C6H4CH2CO2H which on condensation with **polyethylene glycol** in CH2Cl2 containing dicyclohexylcarbodiimide for 4 days gave the title compound (I), a support for liquid-phase peptide synthesis. I-supported Z-Arg(Z,Z)-Lys(Z)-Asp(OBzl)-Val-Tyr(Bzl)-OH (Z = PhCH2O2C, Bzl = PhCH2), the active segment of thymopoietin II, was prepared, from the corresponding amino acids. The pentapeptide was removed quant. from I by photolysis in DMF under argon at 37° for 18 h.

IT **7148-74-5**
RL: RCT (Reactant); RACT (Reactant or reagent)
(acylation by, of Me phenylacetate)
RN 7148-74-5 HCAPLUS
CN Propanoyl chloride, 2-bromo- (9CI) (CA INDEX NAME)



IT **2389-45-9 7536-58-5 14611-35-9**
RL: RCT (Reactant); RACT (Reactant or reagent)
(condensation reaction of, with [(chloropropionyl)phenylacetoxy] **polyethylene glycol**-supported peptide)
RN 2389-45-9 HCAPLUS
CN L-Lysine, N2-[(1,1-dimethylethoxy)carbonyl]-N6-[(phenylmethoxy)carbonyl]- (9CI) (CA INDEX NAME)

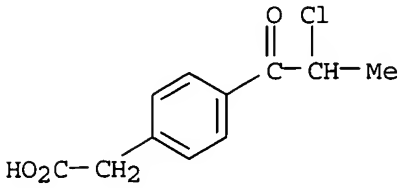
Absolute stereochemistry. Rotation (-).



RN 7536-58-5 HCAPLUS
CN L-Aspartic acid, N-[(1,1-dimethylethoxy)carbonyl]-, 4-(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

CN Benzeneacetic acid, 4-(2-chloro-1-oxopropyl)- (9CI) (CA INDEX NAME)

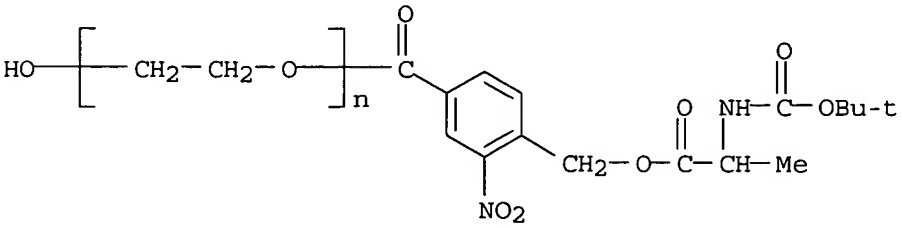


L32 ANSWER 3 OF 5 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1979:558072 HCAPLUS
DOCUMENT NUMBER: 91:158072
TITLE: Cleavage of protected amino acids and peptides from the new o-nitrobenzoyl **polyethylene glycol** support by catalytic hydrogenolysis
AUTHOR(S): **Tjoeng, Foe-Siong**; Hodges, Robert S.
CORPORATE SOURCE: Dep. Biochem., Univ. Alberta, Edmonton, AB, Can.
SOURCE: Tetrahedron Letters (1979), (15), 1273-6
CODEN: TELEAY; ISSN: 0040-4039
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 91:158072

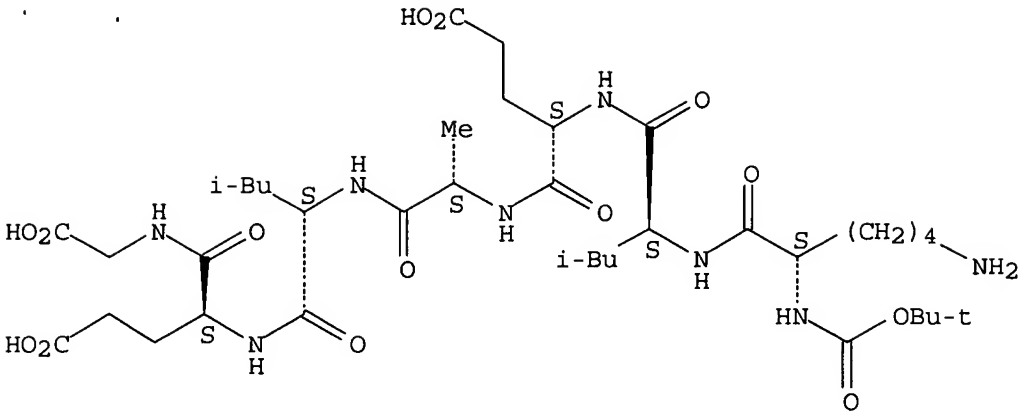
AB The cleavage of protected amino acids and peptides from a 3-nitro-4-bromomethylbenzoyl **polyethylene glycol** support was achieved by Pd-catalyzed hydrogenolysis.

IT **67271-86-7 71646-38-3 71646-39-4 71646-40-7 71673-80-8**
RL: RCT (Reactant); RACT (Reactant or reagent)
(deprotective hydrogenolysis of)

RN 67271-86-7 HCAPLUS
CN Poly(oxy-1,2-ethanediyl), α-[4-[[2-[[(1,1-dimethylethoxy)carbonyl]amino]-1-oxopropoxy]methyl]-3-nitrobenzoyl]-ω-hydroxy-, (S)- (9CI) (CA INDEX NAME)

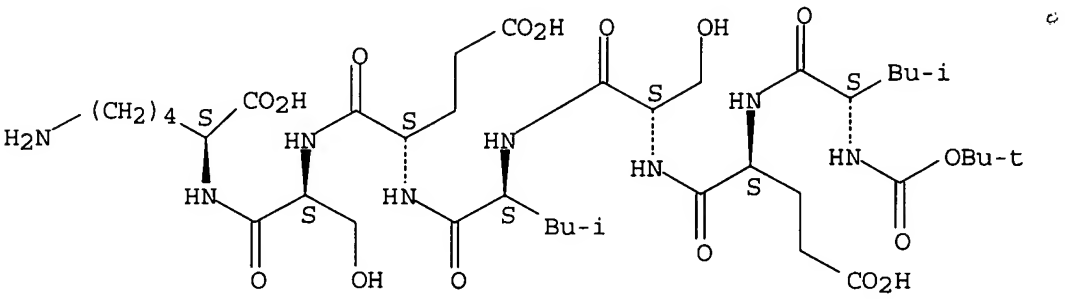


RN 71646-38-3 HCAPLUS
CN Poly(oxy-1,2-ethanediyl), α-[4-[[[2-[[(1,1-dimethylethoxy)carbonyl]amino]-4-methyl-1-oxopentyl]oxy]methyl]-3-nitrobenzoyl]-ω-hydroxy-, (S)- (9CI) (CA INDEX NAME)



RN 71641-31-1 HCAPLUS
CN L-Lysine, N2-[N-[N-[N-[N-[N-[(1,1-dimethylethoxy)carbonyl]-L-leucyl]-L-α-glutamyl]-L-seryl]-L-leucyl]-L-α-glutamyl]-L-seryl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

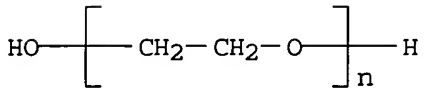


L32 ANSWER 4 OF 5 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1978:580349 HCAPLUS
DOCUMENT NUMBER: 89:180349
TITLE: Liquid-phase syntheses of protected peptides on the new 3-nitro-4-(bromomethyl)benzoylpoly(ethylene glycol) support
AUTHOR(S): **Tjoeng, Foe-Siong**; Tong, E. K.; Hodges, Robert S.
CORPORATE SOURCE: Dep. Biochem., Univ. Alberta, Edmonton, AB, Can.
SOURCE: Journal of Organic Chemistry (1978), 43(21), 4190-4
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English

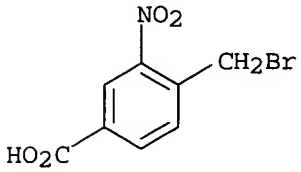
AB Application of the 3-nitro-4-(bromomethyl)benzoylpoly(ethylene glycol) support to the liquid-phase syntheses of protected peptides with free C-terminal carboxyl groups is described. The syntheses were performed using the sym. anhydride coupling method and the protected peptides were cleaved from the support by photolysis. The protected peptides BOC-L-Lys(Z)-L-Leu-L-Glu(OBzl)-L-Ala-OH, BOC-L-Lys(Z)-L-Leu-L-Glu(OBzl)-L-Ala-L-Leu-L-Glu(OBzl)-L-Ala-OH, BOC-L-Lys(Z)-L-Ala-L-Glu(OBzl)-L-Ala-L-Leu-L-Glu(OBzl)-L-Ala-OH, BOC-L-Lys(Z)-L-Leu-L-Glu(OBzl)-L-Ala-L-Ala-L-Glu(OBzl)-L-Ala-OH, and BOC-L-Lys(Z)-L-Ala-L-Glu(OBzl)-L-Ala-L-Ala-L-

Glu(OBzl)-L-Ala-OH (BOC = Me3CO2C, Z = PhCH2O2C, Bzl = PhCH2) were prepared to be used in the synthesis of sequential polypeptides as models for the double-stranded coiled-coil structure of tropomyosin.

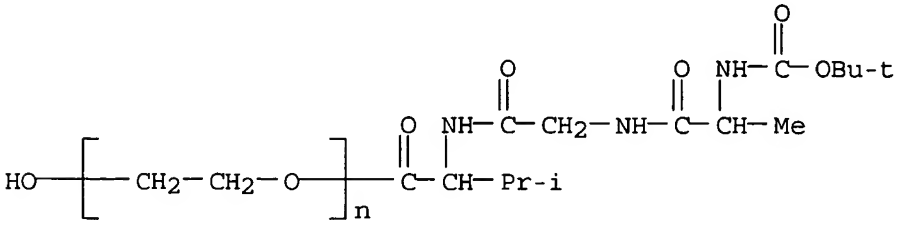
IT **25322-68-3**
RL: RCT (Reactant); RACT (Reactant or reagent)
(condensation reaction of, with (bromomethyl)nitrobenzoic acid)
RN 25322-68-3 HCAPLUS
CN Poly(oxy-1,2-ethanediyl), α-hydro-ω-hydroxy- (9CI) (CA INDEX NAME)



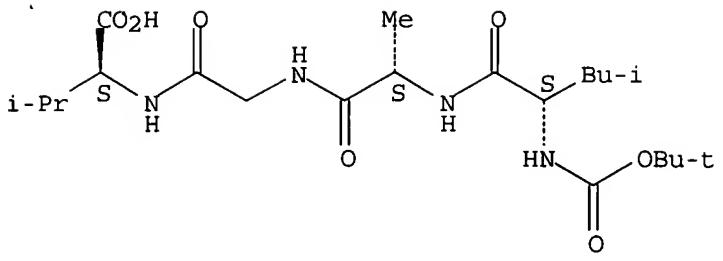
IT **55715-03-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(condensation reaction of, with poly(ethylene glycol))
RN 55715-03-2 HCAPLUS
CN Benzoic acid, 4-(bromomethyl)-3-nitro- (9CI) (CA INDEX NAME)



IT **67271-82-3**
RL: RCT (Reactant); RACT (Reactant or reagent)
(hydrazinolysis of)
RN 67271-82-3 HCAPLUS
CN Poly(oxy-1,2-ethanediyl), α-[8,12,12-trimethyl-2-(1-methylethyl)-1,4,7,10-tetraoxo-11-oxa-3,6,9-triazatetradec-1-yl]-ω-hydroxy, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

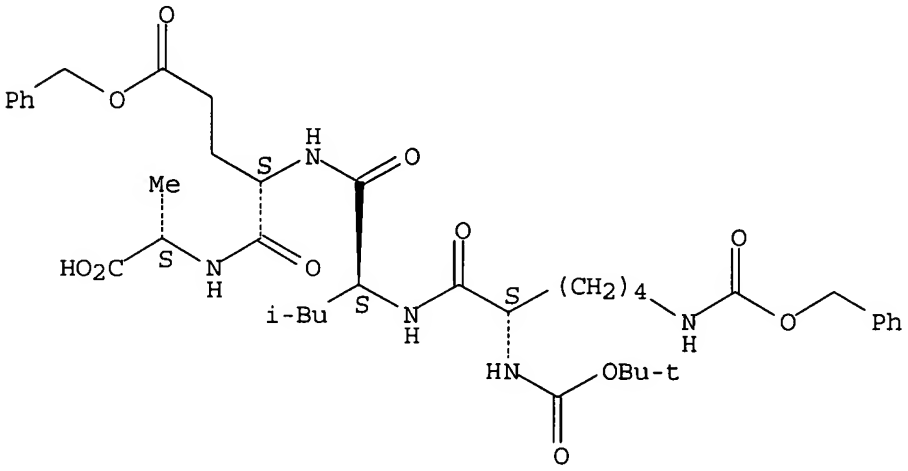


IT **67271-89-0**
RL: RCT (Reactant); RACT (Reactant or reagent)
(liq-phase synthesis with poly(ethylene glycol) support)
RN 67271-89-0 HCAPLUS
CN L-Alanine, N-[N-[N-[N-[N-[N2-[(1,1-dimethylethoxy)carbonyl]-N6-[(phenylmethoxy)carbonyl]-L-lysyl]-L-alanyl]-L-α-glutamyl]-L-alanyl]-L-leucyl]-L-α-glutamyl]-, 5,5'-bis(phenylmethyl) ester (9CI) (CA INDEX NAME)



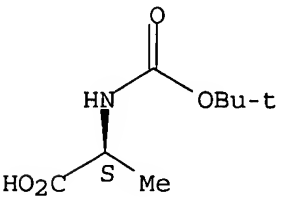
RN 67271-88-9 HCAPLUS
CN L-Alanine, N-[N-[N-[N2-[(1,1-dimethylethoxy)carbonyl]-N6-[(phenylmethoxy)carbonyl]-L-lysyl]-L-leucyl]-L-α-glutamyl]-, 5-(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **15761-38-3**
RL: RCT (Reactant); RACT (Reactant or reagent)
(substitution reaction of, with poly(ethylene glycol) ester with (bromomethyl)nitrobenzoic acid)
RN 15761-38-3 HCAPLUS
CN L-Alanine, N-[(1,1-dimethylethoxy)carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



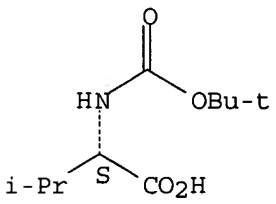
L32 ANSWER 5 OF 5 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1977:171830 HCAPLUS
DOCUMENT NUMBER: 86:171830

TITLE: Liquid-phase method for peptide synthesis utilizing photolytic cleavage from a new o-nitrobenzoyl **polyethylene glycol** support
AUTHOR(S): **Tjoeng, F-S.**; Staines, W.; St.-Pierre, S.; Hodges, R. S.
CORPORATE SOURCE: Dep. Biochem., Univ. Alberta, Edmonton, AB, Can.
SOURCE: Biochimica et Biophysica Acta (1977), 490(2), 489-96
CODEN: BBACAQ; ISSN: 0006-3002
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A photosensitive 3-nitro-4-bromomethylbenzoyl **polyethylene glycol** support for use in the liquid-phase method of peptide synthesis was prepared Photolytic cleavage of a protected tetrapeptide possessing a free C-terminal carboxyl group from the **polyethylene glycol** support resulted in a 98% yield compared with a 69% yield for the photolytic cleavage from the polystyrene support. This cleavage method avoids the low yields and drastic conditions needed to remove a peptide attached directly to the **polyethylene glycol** support in the conventional liquid-phase method.

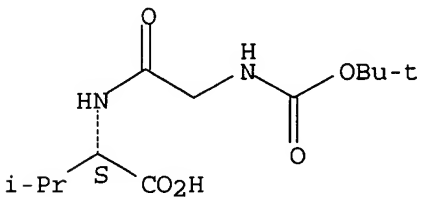
IT **13734-41-3DP**, resin bound
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and peptide coupling reaction of, by Merrifield liquid-phase method)
RN 13734-41-3 HCAPLUS
CN L-Valine, N-[(1,1-dimethylethoxy)carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



IT **28334-73-8DP**, resin bound **56133-97-2DP**, resin bound
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and peptide coupling reaction of, by liquid-phase Merrifield method)
RN 28334-73-8 HCAPLUS
CN L-Valine, N-[(1,1-dimethylethoxy)carbonyl]glycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 56133-97-2 HCAPLUS
CN L-Valine, N-[N-[N-[(1,1-dimethylethoxy)carbonyl]-L-alanyl]glycyl]- (9CI)